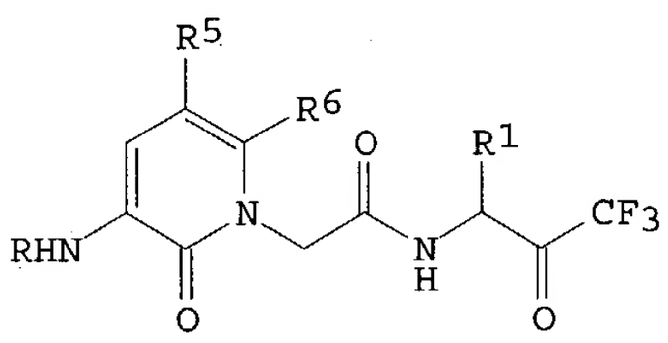
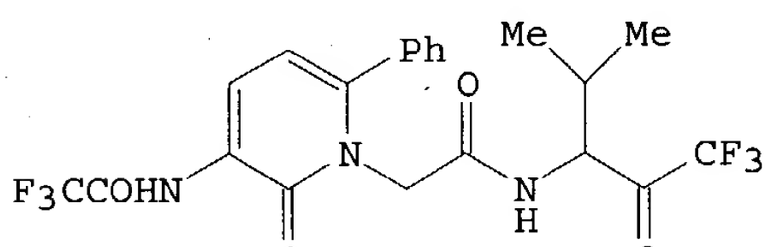
L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:408683 CAPLUS
DN 119:8683
TI Preparation of oxopyridylacetamides as human leukocyte elastase inhibitors
IN Bernstein, Peter Robert; Shaw, Andrew; Thomas, Royston Martin; Wolanin, Donald John; Warner, Peter
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 96 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 509769	A2	19921021	EP 1992-303358	19920415 <--
	EP 509769	A3	19930901		
	EP 509769	B1	19960911		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	NO 9201451	A	19921019	NO 1992-1451	19920410 <--
	CA 2065794	AA	19921019	CA 1992-2065794	19920410 <--
	AU 9214827	A1	19921022	AU 1992-14827	19920410 <--
	AU 660664	B2	19950706		
	HU 66541	A2	19941228	HU 1992-1225	19920410 <--
	JP 06056785	A2	19940301	JP 1992-143140	19920418 <--
PRAI	GB 1991-8357		19910418		
	GB 1991-8358		19910418		
	GB 1992-5392		19920312		

OS MARPAT 119:8683
GI



I

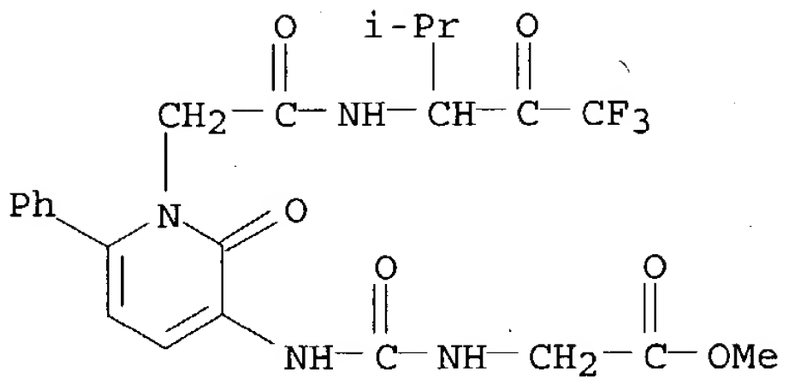


II

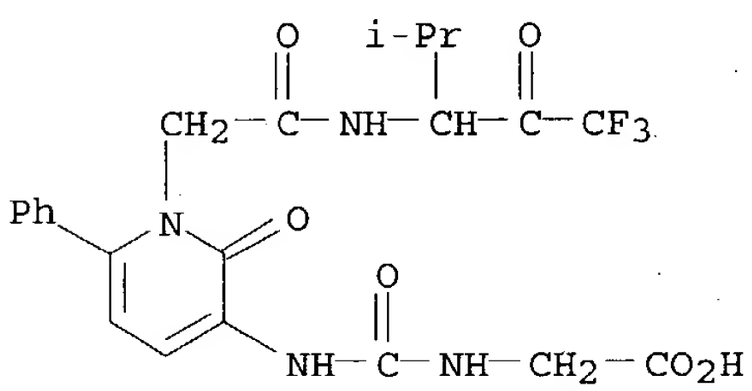
AB Title compds. [I; R = H, CHO, F3CCO, acyl; R1 = C1-5 alkyl; R5, R6 = H, alkyl; or one of R5, R6 = H, Me; the other = BY; B = (substituted) (hetero)aryl; Y = bond, CH2, CH2CH2, trans-CH:CH], were prepared Thus, title compound II, prepared by oxidation of the corresponding hydroxyamide, inhibited human leukocyte elastase with Ki = 39 nM.

IT **147267-58-1P 147267-62-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as human leukocyte elastase inhibitor)

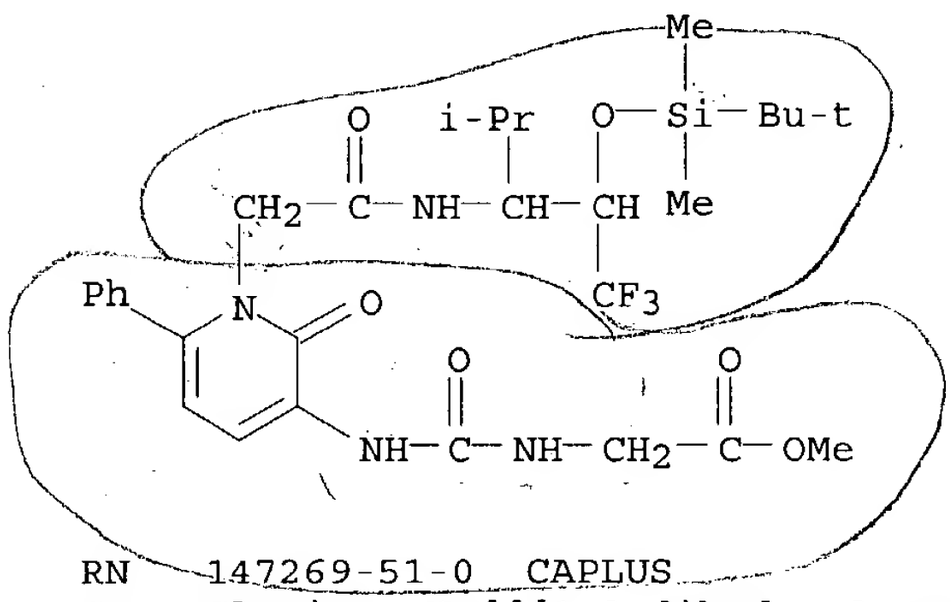
RN 147267-58-1 CAPLUS
CN Glycine, N-[[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 147267-62-7 CAPLUS
CN Glycine, N-[[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

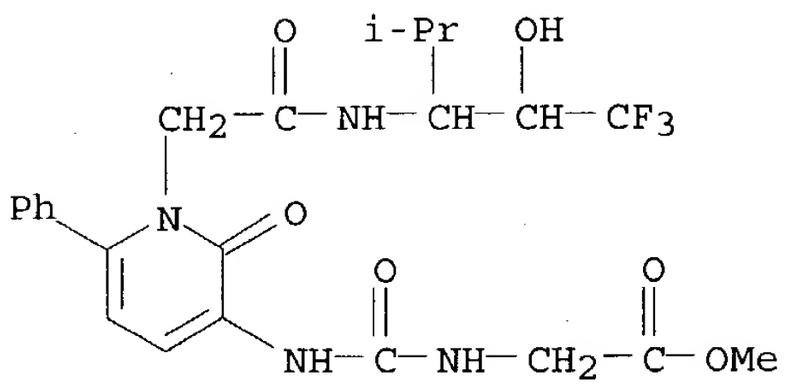


IT **147269-47-4P 147269-51-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for human leukocyte elastase inhibitor)
RN 147269-47-4 CAPLUS
CN Glycine, N-[[[1-[2-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3,3,3-trifluoro-1-(1-methylethyl)propyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-6-phenyl-3-pyridinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



FINAL

RN 147269-51-0 CAPLUS
CN Glycine, N-[[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-2-hydroxy-1-(1-methylethyl)propyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

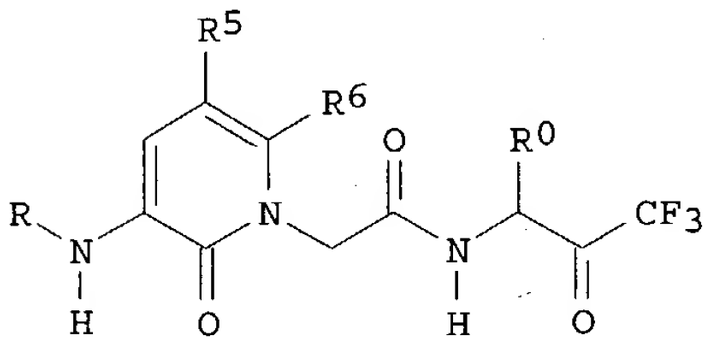


=> d bib abs hitstr 1

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:599235 CAPLUS
DN 125:247628
TI 2-(2-Oxo-1,2-dihydro-1-pyridyl)-N-[3,3,3-trifluoro-1-(lower alkyl)-2-oxopropyl]acetamide derivatives as inhibitors of human leukocyte elastase
IN Bernstein, Peter R.; Shaw, Andrew; Thomas, Royston M.; Warner, Peter; Wolanin, Donald J.
PA Zeneca Limited, UK
SO U.S., 70 pp., Cont.-in-part of U.S. Ser. No. 869,993,abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5521179	A	19960528	US 1993-45009	19930408 <--
	ZA 9302697	A	19931028	ZA 1993-2697	19930416 <--
PRAI	GB 1991-8357		19910418		
	GB 1991-8358		19910418		
	GB 1992-5392		19920312		
	GB 1992-8379		19920416		
	GB 1992-8380		19920416		
	US 1992-869993		19920416		
	US 1992-869993		19920416		
	GB 1992-14448		19920708		
	GB 1992-17362		19920814		
	GB 1992-17363		19920814		
	GB 1992-17364		19920814		

OS MARPAT 125:247628
GI



I

AB The present invention relates to certain novel heterocyclic amides which are 1-pyridylacetamide compds. I wherein: R0 is C1-5 alkyl; R = e.g., H, acyl, sulfonyl; R5 and R6 = e.g., H, lower alkyl, B-Y where B is aryl or heteroaryl and Y is a direct bond, methylene, ethylene, or trans-vinylene (with proviso); which are inhibitors of human leukocyte elastase (HLE),

also known as human neutrophil elastase (HNE), making them useful whenever such inhibition is desired, such as for research tools in pharmacol., diagnostic and related studies and in the treatment of diseases in mammals in which HLE is implicated. The Ki values for I which were tested are generally on the order of 10-7 M or much less. The invention also includes intermediates useful in the synthesis of these heterocyclic amides, processes for preparing the heterocyclic amides, pharmaceutical compns. containing such heterocyclic amides and methods for their use. Thus, e.g., acetophenone was formylated and cyclized with cyanoacetamide to provide 6-phenylpyrid-2-one-3-carbonitrile; hydrolysis to the carboxylic acid followed by urethane formation yielded 3-benzyloxycarbonylamino-6-phenylpyrid-2-one; alkylation of the latter with N-(2-tert-butyldimethylsilyloxy-3,3,3-trifluoro-1-isopropylpropyl)-2-iodoacetamide (preparation given) followed by deprotection and oxidation afforded 2-(3-benzyloxycarbonylamino-2-oxo-6-phenyl-1,2-dihydro-1-pyridyl)-N-(3,3,3-trifluoro-1-isopropyl-2-oxopropyl)acetamide (I; R = Cbz, R5 = H, R6 = Ph, R0 = iso-Pr).

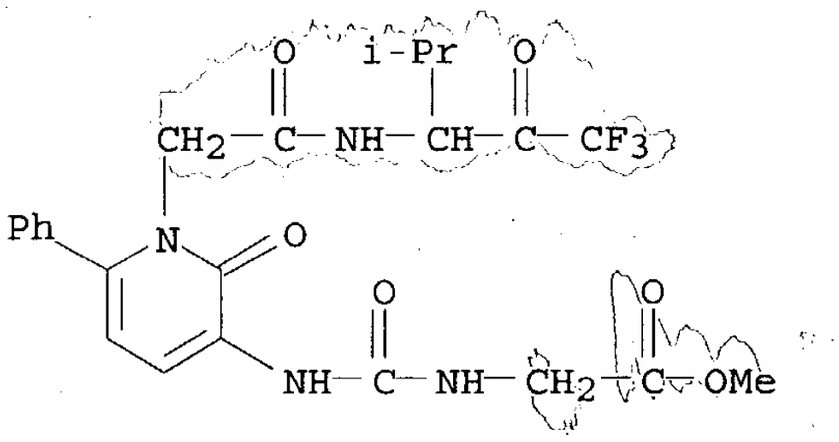
IT **147267-58-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(2-(2-oxo-1,2-dihydro-1-pyridyl)-N-[3,3,3-trifluoro-1-(lower alkyl)-2-oxopropyl]acetamide derivs. as inhibitors of human leukocyte elastase)

RN 147267-58-1 CAPLUS

CN Glycine, N-[[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



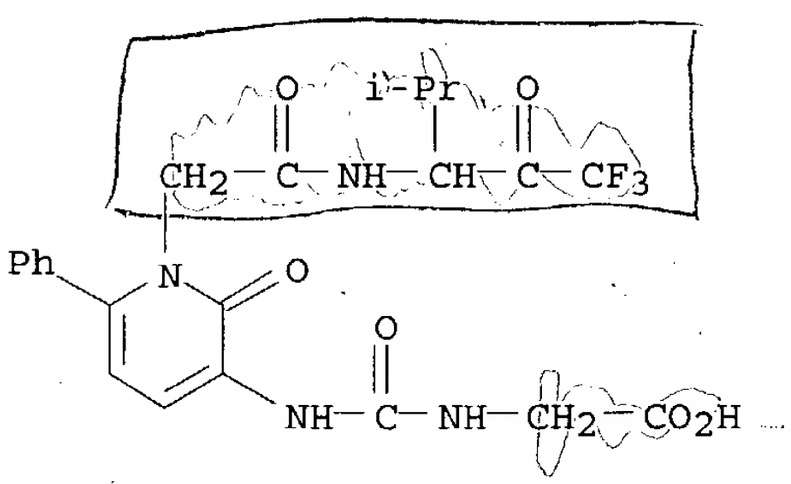
IT **147267-62-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(2-(2-oxo-1,2-dihydro-1-pyridyl)-N-[3,3,3-trifluoro-1-(lower alkyl)-2-oxopropyl]acetamide derivs. as inhibitors of human leukocyte elastase)

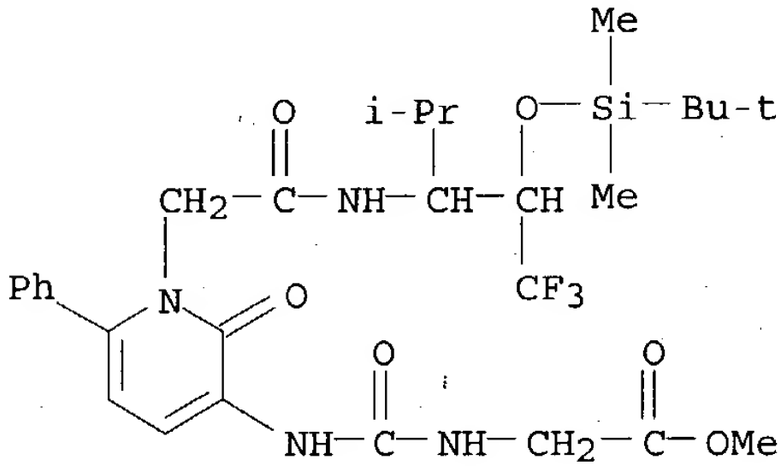
RN 147267-62-7 CAPLUS

CN Glycine, N-[[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



IT **147269-47-4P 147269-51-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(2-(2-oxo-1,2-dihydro-1-pyridyl)-N-[3,3,3-trifluoro-1-(lower alkyl)-2-oxopropyl]acetamide derivs. as inhibitors of human leukocyte elastase)

RN 147269-47-4 CAPLUS
CN Glycine, N-[[[1-[2-[[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3,3,3-trifluoro-1-(1-methylethyl)propyl]amino]-2-oxoethyl]-1,2-dihydro-2-oxo-6-phenyl-3-pyridinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 147269-51-0 CAPLUS
CN Glycine, N-[[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-2-hydroxy-1-(1-methylethyl)propyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)